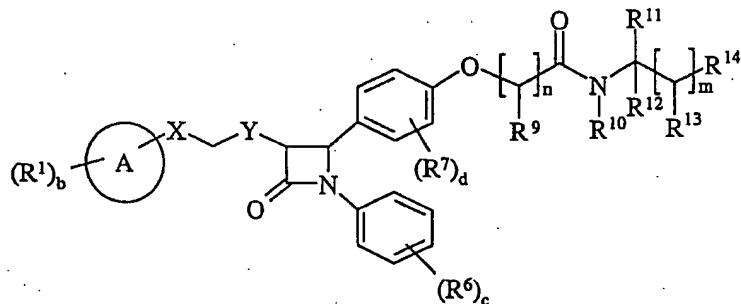


Claims

1. A compound of formula (I):



5

(I)

wherein:

**Ring A** is selected from phenyl or thienyl;

**X** is selected from  $-\text{CR}^2\text{R}^3-$ ,  $-\text{O}-$ ,  $-\text{NR}^x-$  and  $-\text{S}(\text{O})_a-$ ; wherein  $\text{R}^x$  is hydrogen or  $\text{C}_{1-6}\text{alkyl}$ , and  $a$  is 0-2;

10 **Y** is selected from  $-\text{CR}^4\text{R}^5-$ ,  $-\text{O}-$ ,  $-\text{NR}^z-$  and  $-\text{S}(\text{O})_a-$ ; wherein  $\text{R}^z$  is hydrogen or  $\text{C}_{1-6}\text{alkyl}$ , and  $a$  is 0-2; wherein there is at least one  $-\text{CR}^2\text{R}^3-$  or  $-\text{CR}^4\text{R}^5-$  group;

**R**<sup>1</sup> is independently selected from halo, hydroxy,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{C}_{1-6}\text{alkoxy}$  and  $\text{C}_{1-6}\text{alkylS}(\text{O})_a$  wherein  $a$  is 0 to 2; wherein  $\text{R}^1$  is independently optionally substituted on carbon by one or more halo,  $\text{C}_{1-6}\text{alkoxy}$  and hydroxy;

15 **b** is 0-3; wherein the values of  $\text{R}^1$  may be the same or different;

**R**<sup>2</sup> and **R**<sup>3</sup> are independently selected from hydrogen, hydroxy,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{C}_{1-6}\text{alkoxy}$  and  $\text{C}_{1-6}\text{alkanoyloxy}$ ; wherein  $\text{R}^2$  and  $\text{R}^3$  may be independently optionally substituted on carbon by one or more halo or hydroxy; or  $\text{R}^2$  and  $\text{R}^3$  together form an oxo group;

**R**<sup>4</sup> and **R**<sup>5</sup> are independently selected from hydrogen, hydroxy,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{C}_{1-6}\text{alkoxy}$  and  $\text{C}_{1-6}\text{alkanoyloxy}$ ; or  $\text{R}^4$  and  $\text{R}^5$  together form an oxo group;

**R**<sup>6</sup> is independently selected from halo, nitro, cyano, hydroxy, amino, carboxy, formyl, carbamoyl, carbamoyloxy, mercapto, sulphamoyl,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{C}_{2-6}\text{alkenyl}$ ,  $\text{C}_{2-6}\text{alkenyloxy}$ ,  $\text{C}_{2-6}\text{alkynyl}$ ,  $\text{C}_{1-6}\text{alkoxy}$ ,  $\text{C}_{1-6}\text{alkanoyl}$ ,  $\text{C}_{1-6}\text{alkanoyloxy}$ ,  $N-(\text{C}_{1-6}\text{alkyl})\text{amino}$ ,  $N,N-(\text{C}_{1-6}\text{alkyl})_2\text{amino}$ ,  $\text{C}_{1-6}\text{alkanoylamino}$ ,  $\text{C}_{1-6}\text{alkanoyl-}N-(\text{C}_{1-6}\text{alkyl})\text{amino}$ ,

25  $\text{C}_{1-6}\text{alkylsulphonylamino}$ ,  $\text{C}_{1-6}\text{alkylsulphonyl-}N-(\text{C}_{1-6}\text{alkyl})\text{amino}$ ,  $N-(\text{C}_{1-6}\text{alkyl})\text{carbamoyl}$ ,  $N,N-(\text{C}_{1-6}\text{alkyl})_2\text{carbamoyl}$ ,  $N-(\text{C}_{1-6}\text{alkyl})\text{carbamoyloxy}$ ,  $N,N-(\text{C}_{1-6}\text{alkyl})_2\text{carbamoyloxy}$ ,  $\text{C}_{1-6}\text{alkylS}(\text{O})_a$  wherein  $a$  is 0 to 2,  $\text{C}_{1-6}\text{alkoxycarbonyl}$ ,  $\text{C}_{1-6}\text{alkoxycarbonylamino}$ ,

$C_{1-6}$ alkoxycarbonyl- $N$ -( $C_{1-6}$ alkyl)amino,  $C_{1-6}$ alkoxycarbonyloxy,  $C_{1-6}$ alkoxycarbonylamino, ureido,  $N'$ -( $C_{1-6}$ alkyl)ureido,  $N$ -( $C_{1-6}$ alkyl)ureido,  $N',N'$ -( $C_{1-6}$ alkyl)<sub>2</sub>ureido,  $N'$ -( $C_{1-6}$ alkyl)- $N$ -( $C_{1-6}$ alkyl)ureido,  $N',N'$ -( $C_{1-6}$ alkyl)<sub>2</sub>- $N$ -( $C_{1-6}$ alkyl)ureido,  $N$ -( $C_{1-6}$ alkyl)sulphamoyl,  $N,N$ -( $C_{1-6}$ alkyl)<sub>2</sub>sulphamoyl and phenyl; wherein  $R^7$  is

5 independently optionally substituted on carbon by one or more halo,  $C_{1-6}$ alkoxy, hydroxy, amino, carboxy,  $C_{1-6}$ alkoxycarbonyl, carbamoyl,  $N$ -( $C_{1-6}$ alkyl)carbamoyl,  $N,N$ -( $C_{1-6}$ alkyl)<sub>2</sub>carbamoyl,  $C_{1-6}$ alkanoylamino,  $C_{1-6}$ alkanoyl- $N$ -( $C_{1-6}$ alkyl)amino, phenyl, phenoxy, benzoyl, phenyl $C_{1-6}$ alkyl and phenyl $C_{1-6}$ alkoxy;

$c$  is 0-5; wherein the values of  $R^6$  may be the same or different;

10  $R^7$  is independently selected from halo, hydroxy, cyano, carbamoyl, ureido, amino, nitro, carboxy, carbamoyl, mercapto, sulphamoyl, trifluoromethyl, trifluoromethoxy, methyl, ethyl, methoxy, ethoxy, vinyl, allyl, ethynyl, methoxycarbonyl, formyl, acetyl, formamido, acetylamino, acetoxy, methylamino, dimethylamino,  $N$ -methylcarbamoyl,  $N,N$ -dimethylcarbamoyl, methylthio, methylsulphinyl, mesyl,  $N$ -methylsulphamoyl and

15  $N,N$ -dimethylsulphamoyl;

$d$  is 0-4; wherein the values of  $R^7$  may be the same or different;

$R^9$  is hydrogen,  $C_{1-4}$ alkyl, carbocyclyl or heterocyclyl; wherein  $R^9$  may be optionally substituted on carbon by one or more substituents selected from  $R^{23}$ ; and wherein if said heterocyclyl contains an -NH- group, that nitrogen may be optionally substituted by a group

20 selected from  $R^{24}$ ;

$R^{10}$  is hydrogen or  $C_{1-4}$ alkyl;

$R^{11}$  and  $R^{12}$  are independently selected from hydrogen,  $C_{1-4}$ alkyl, carbocyclyl or heterocyclyl; or  $R^{11}$  and  $R^{12}$  together form  $C_{2-6}$ alkylene; wherein  $R^{11}$  and  $R^{12}$  or  $R^{11}$  and  $R^{12}$  together may be independently optionally substituted on carbon by one or more substituents

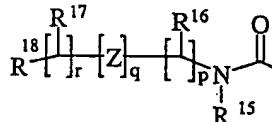
25 selected from  $R^{25}$ ; and wherein if said heterocyclyl contains an -NH- moiety, that nitrogen may be optionally substituted by one or more  $R^{26}$ ;

$R^{13}$  is hydrogen,  $C_{1-4}$ alkyl, carbocyclyl or heterocyclyl; wherein  $R^{13}$  may be optionally substituted on carbon by one or more substituents selected from  $R^{27}$ ; and wherein if said heterocyclyl contains an -NH- moiety, that nitrogen may be optionally substituted by one or

30 more  $R^{28}$ ;

$R^{14}$  is hydrogen, halo, nitro, cyano, hydroxy, amino, carbamoyl, mercapto, sulphamoyl, hydroxyaminocarbonyl,  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl,  $C_{2-10}$ alkynyl,  $C_{1-10}$ alkoxy,  $C_{1-10}$ alkoxycarbonyl,  $C_{1-10}$ alkanoyl,  $C_{1-10}$ alkanoyloxy,  $N$ -( $C_{1-10}$ alkyl)amino,

$N,N$ -(C<sub>1-10</sub>alkyl)<sub>2</sub>amino,  $N,N,N$ -(C<sub>1-10</sub>alkyl)<sub>3</sub>ammonio, C<sub>1-10</sub>alkanoylamino,  
 $N$ -(C<sub>1-10</sub>alkyl)carbamoyl,  $N,N$ -(C<sub>1-10</sub>alkyl)<sub>2</sub>carbamoyl, C<sub>1-10</sub>alkylS(O)<sub>a</sub> wherein a is 0 to 2,  
 $N$ -(C<sub>1-10</sub>alkyl)sulphamoyl,  $N,N$ -(C<sub>1-10</sub>alkyl)<sub>2</sub>sulphamoyl,  $N$ -(C<sub>1-10</sub>alkyl)sulphamoylamino,  
 $N,N$ -(C<sub>1-10</sub>alkyl)<sub>2</sub>sulphamoylamino, C<sub>1-10</sub>alkoxycarbonylamino, carbocyclyl,  
5 carbocyclylC<sub>1-10</sub>alkyl, heterocyclyl, heterocyclylC<sub>1-10</sub>alkyl,  
carbocyclyl-(C<sub>1-10</sub>alkylene)<sub>e</sub>-R<sup>29</sup>-(C<sub>1-10</sub>alkylene)<sub>f</sub>-,  
heterocyclyl-(C<sub>1-10</sub>alkylene)<sub>g</sub>-R<sup>30</sup>-(C<sub>1-10</sub>alkylene)<sub>h</sub>-, carboxy, sulpho, sulphino, phosphono,  
- $P(O)(OR^{31})(OR^{32})$ , - $P(O)(OH)(OR^{31})$ , - $P(O)(OH)(R^{31})$  or - $P(O)(OR^{31})(R^{32})$  wherein R<sup>31</sup> and  
R<sup>32</sup> are independently selected from C<sub>1-6</sub>alkyl; wherein R<sup>14</sup> may be optionally substituted on  
10 carbon by one or more substituents selected from R<sup>33</sup>; and wherein if said heterocyclyl  
contains an -NH- group, that nitrogen may be optionally substituted by a group selected from  
R<sup>34</sup>; or R<sup>14</sup> is a group of formula (IA):



(IA)

15 wherein:

Z is -N(R<sup>35</sup>)-, -N(R<sup>35</sup>)C(O)-, -O-, and -S(O)<sub>a</sub>-; wherein a is 0-2 and R<sup>35</sup> is hydrogen or C<sub>1-4</sub>alkyl;

R<sup>15</sup> is hydrogen or C<sub>1-4</sub>alkyl;

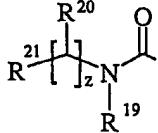
R<sup>16</sup> and R<sup>17</sup> are independently selected from hydrogen, halo, nitro, cyano, hydroxy,

20 amino, carboxy, carbamoyl, mercapto, sulphamoyl, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl,  
C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkanoyl, C<sub>1-6</sub>alkanoyloxy,  $N$ -(C<sub>1-6</sub>alkyl)amino,  $N,N$ -(C<sub>1-6</sub>alkyl)<sub>2</sub>amino,  
C<sub>1-6</sub>alkanoylamino,  $N$ -(C<sub>1-6</sub>alkyl)carbamoyl,  $N,N$ -(C<sub>1-6</sub>alkyl)<sub>2</sub>carbamoyl, C<sub>1-6</sub>alkylS(O)<sub>a</sub>  
wherein a is 0 to 2, C<sub>1-6</sub>alkoxycarbonyl,  $N$ -(C<sub>1-6</sub>alkyl)sulphamoyl,  
 $N,N$ -(C<sub>1-6</sub>alkyl)<sub>2</sub>sulphamoyl, carbocyclyl, heterocyclyl, sulpho, sulphino, amidino, phosphono,  
25 - $P(O)(OR^{36})(OR^{37})$ , - $P(O)(OH)(OR^{36})$ , - $P(O)(OH)(R^{36})$  or - $P(O)(OR^{36})(R^{37})$ , wherein R<sup>36</sup> and  
R<sup>37</sup> are independently selected from C<sub>1-6</sub>alkyl; wherein R<sup>16</sup> and R<sup>17</sup> may be independently  
optionally substituted on carbon by one or more substituents selected from R<sup>38</sup>; and wherein if  
said heterocyclyl contains an -NH- group, that nitrogen may be optionally substituted by a  
group selected from R<sup>39</sup>;  
30 R<sup>18</sup> is selected from hydrogen, halo, nitro, cyano, hydroxy, amino, carbamoyl,  
mercapto, sulphamoyl, hydroxyaminocarbonyl, C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>2-10</sub>alkynyl,

$C_{1-10}$ alkoxy,  $C_{1-10}$ alkanoyl,  $C_{1-10}$ alkanoyloxy,  $N-(C_{1-10}\text{alkyl})$ amino,  $N,N-(C_{1-10}\text{alkyl})_2$ amino,  $C_{1-10}$ alkanoylamino,  $N-(C_{1-10}\text{alkyl})$ carbamoyl,  $C_{1-10}$ alkoxycarbonyl,

$N,N-(C_{1-10}\text{alkyl})_2$ carbamoyl,  $C_{1-10}\text{alkylS(O)}_a$  wherein a is 0 to 2,  $N-(C_{1-10}\text{alkyl})$ sulphamoyl,  $N,N-(C_{1-10}\text{alkyl})_2$ sulphamoyl,  $N-(C_{1-10}\text{alkyl})$ sulphamoylamino,

5  $N,N-(C_{1-10}\text{alkyl})_2$ sulphamoylamino, carbocyclyl, carbocyclyl $C_{1-10}$ alkyl, heterocyclyl, heterocyclyl $C_{1-10}$ alkyl, carbocyclyl-( $C_{1-10}$ alkylene)<sub>e</sub>- $R^{40}$ -( $C_{1-10}$ alkylene)<sub>f</sub> or heterocyclyl-( $C_{1-10}$ alkylene)<sub>g</sub>- $R^{41}$ -( $C_{1-10}$ alkylene)<sub>h</sub>, carboxy, sulpho, sulphino, phosphono, - $P(O)(OR^{42})(OR^{43})$ , - $P(O)(OH)(OR^{42})$ , - $P(O)(OH)(R^{42})$  or - $P(O)(OR^{42})(R^{43})$  wherein  $R^{42}$  and  $R^{43}$  are independently selected from  $C_{1-6}$ alkyl; wherein  $R^{18}$  may be optionally substituted on 10 carbon by one or more substituents selected from  $R^{44}$ ; and wherein if said heterocyclyl contains an -NH- group, that nitrogen may be optionally substituted by a group selected from  $R^{45}$ ; or  $R^{18}$  is a group of formula (IB):



(IB)

15 wherein:

$R^{19}$  is selected from hydrogen or  $C_{1-4}$ alkyl;

$R^{20}$  is selected from hydrogen, halo, nitro, cyano, hydroxy, amino, carboxy, carbamoyl, mercapto, sulphamoyl,  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl,  $C_{2-6}$ alkynyl,  $C_{1-6}$ alkoxy,  $C_{1-6}$ alkanoyl,  $C_{1-6}$ alkanoyloxy,  $N-(C_{1-6}\text{alkyl})$ amino,  $N,N-(C_{1-6}\text{alkyl})_2$ amino,

20  $C_{1-6}$ alkanoylamino,  $N-(C_{1-6}\text{alkyl})$ carbamoyl,  $N,N-(C_{1-6}\text{alkyl})_2$ carbamoyl,  $C_{1-6}\text{alkylS(O)}_a$  wherein a is 0 to 2,  $C_{1-6}$ alkoxycarbonyl,  $N-(C_{1-6}\text{alkyl})$ sulphamoyl,  $N,N-(C_{1-6}\text{alkyl})_2$ sulphamoyl, carbocyclyl, heterocyclyl, sulpho, sulphino, amidino, phosphono, - $P(O)(OR^{46})(OR^{47})$ , - $P(O)(OH)(OR^{46})$ , - $P(O)(OH)(R^{46})$  or - $P(O)(OR^{46})(R^{47})$ , wherein  $R^{46}$  and  $R^{47}$  are independently selected from  $C_{1-6}$ alkyl; where  $R^{20}$  may be independently optionally substituted on carbon by one or more substituents selected from  $R^{48}$ ; and wherein if said heterocyclyl contains an -NH- group, that nitrogen may be optionally substituted by a group selected from  $R^{49}$ ;

$R^{21}$  is selected from halo, nitro, cyano, hydroxy, amino, carbamoyl, mercapto, sulphamoyl, hydroxyaminocarbonyl,  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl,  $C_{2-10}$ alkynyl,  $C_{1-10}$ alkoxy,

30  $C_{1-10}$ alkoxycarbonyl,  $C_{1-10}$ alkanoyl,  $C_{1-10}$ alkanoyloxy,  $N-(C_{1-10}\text{alkyl})$ amino,  $N,N-(C_{1-10}\text{alkyl})_2$ amino,  $N,N,N-(C_{1-10}\text{alkyl})_3$ ammonio,  $C_{1-10}$ alkanoylamino,

*N*-(C<sub>1-10</sub>alkyl)carbamoyl, *N,N*-(C<sub>1-10</sub>alkyl)<sub>2</sub>carbamoyl, C<sub>1-10</sub>alkylS(O)<sub>a</sub> wherein a is 0 to 2, *N*-(C<sub>1-10</sub>alkyl)sulphamoyl, *N,N*-(C<sub>1-10</sub>alkyl)<sub>2</sub>sulphamoyl, *N*-(C<sub>1-10</sub>alkyl)sulphamoylamino, *N,N*-(C<sub>1-10</sub>alkyl)<sub>2</sub>sulphamoylamino, C<sub>1-10</sub>alkoxycarbonylamino, carbocyclyl, carbocyclylC<sub>1-10</sub>alkyl, heterocyclyl, heterocyclylC<sub>1-10</sub>alkyl,

5 carbocyclyl-(C<sub>1-10</sub>alkylene)<sub>e</sub>-R<sup>50</sup>-(C<sub>1-10</sub>alkylene)<sub>f</sub>-, heterocyclyl-(C<sub>1-10</sub>alkylene)<sub>g</sub>-R<sup>51</sup>-(C<sub>1-10</sub>alkylene)<sub>h</sub>-, carboxy, sulpho, sulphino, phosphono, -P(O)(OR<sup>52</sup>)(OR<sup>53</sup>), -P(O)(OH)(OR<sup>52</sup>), -P(O)(OH)(R<sup>52</sup>) or -P(O)(OR<sup>53</sup>)(R<sup>53</sup>) wherein R<sup>52</sup> and R<sup>53</sup> are independently selected from C<sub>1-6</sub>alkyl; wherein R<sup>21</sup> may be independently optionally substituted on carbon by one or more R<sup>54</sup>; and wherein if said heterocyclyl contains an -NH- group, that nitrogen may be optionally substituted by a group selected from R<sup>55</sup>;

p is 1-3; wherein the values of R<sup>16</sup> may be the same or different;

q is 0-1;

r is 0-3; wherein the values of R<sup>17</sup> may be the same or different;

m is 0-2; wherein the values of R<sup>13</sup> may be the same or different;

15 n is 1-2; wherein the values of R<sup>9</sup> may be the same or different;

z is 0-3; wherein the values of R<sup>20</sup> may be the same or different;

R<sup>23</sup>, R<sup>25</sup>, R<sup>27</sup>, R<sup>33</sup>, R<sup>38</sup>, R<sup>44</sup>, R<sup>48</sup> and R<sup>54</sup> are independently selected from halo, nitro, cyano, hydroxy, amino, carbamoyl, mercapto, sulphamoyl, hydroxyaminocarbonyl, C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>2-10</sub>alkynyl, C<sub>1-10</sub>alkoxy, C<sub>1-10</sub>alkanoyl, C<sub>1-10</sub>alkanoyloxy,

20 C<sub>1-10</sub>alkoxycarbonyl, *N*-(C<sub>1-10</sub>alkyl)amino, *N,N*-(C<sub>1-10</sub>alkyl)<sub>2</sub>amino, *N,N,N*-(C<sub>1-10</sub>alkyl)<sub>3</sub>ammonio, C<sub>1-10</sub>alkanoylamino, *N*-(C<sub>1-10</sub>alkyl)carbamoyl, *N,N*-(C<sub>1-10</sub>alkyl)<sub>2</sub>carbamoyl, C<sub>1-10</sub>alkylS(O)<sub>a</sub> wherein a is 0 to 2, *N*-(C<sub>1-10</sub>alkyl)sulphamoyl, *N,N*-(C<sub>1-10</sub>alkyl)<sub>2</sub>sulphamoyl, *N*-(C<sub>1-10</sub>alkyl)sulphamoylamino, *N,N*-(C<sub>1-10</sub>alkyl)<sub>2</sub>sulphamoylamino, C<sub>1-10</sub>alkoxycarbonylamino, carbocyclyl,

25 carbocyclylC<sub>1-10</sub>alkyl, heterocyclyl, heterocyclylC<sub>1-10</sub>alkyl, carbocyclyl-(C<sub>1-10</sub>alkylene)<sub>e</sub>-R<sup>56</sup>-(C<sub>1-10</sub>alkylene)<sub>f</sub>-, heterocyclyl-(C<sub>1-10</sub>alkylene)<sub>g</sub>-R<sup>57</sup>-(C<sub>1-10</sub>alkylene)<sub>h</sub>-, carboxy, sulpho, sulphino, amidino, phosphono, -P(O)(OR<sup>58</sup>)(OR<sup>59</sup>), -P(O)(OH)(OR<sup>58</sup>), -P(O)(OH)(R<sup>58</sup>) or -P(O)(OR<sup>59</sup>)(R<sup>59</sup>), wherein R<sup>58</sup> and R<sup>59</sup> are independently selected from C<sub>1-6</sub>alkyl; wherein R<sup>23</sup>, R<sup>25</sup>, R<sup>27</sup>, R<sup>33</sup>,

30 R<sup>38</sup>, R<sup>44</sup>, R<sup>48</sup> and R<sup>54</sup> may be independently optionally substituted on carbon by one or more R<sup>60</sup>; and wherein if said heterocyclyl contains an -NH- group, that nitrogen may be optionally substituted by a group selected from R<sup>61</sup>;

$R^{24}$ ,  $R^{26}$ ,  $R^{28}$ ,  $R^{34}$ ,  $R^{39}$ ,  $R^{45}$ ,  $R^{49}$ ,  $R^{55}$  and  $R^{61}$  are independently selected from  $C_{1-6}$ alkyl,  $C_{1-6}$ alkanoyl,  $C_{1-6}$ alkylsulphonyl, sulphamoyl,  $N-(C_{1-6}$ alkyl)sulphamoyl,

$N,N-(C_{1-6}$ alkyl)<sub>2</sub>sulphamoyl,  $C_{1-6}$ alkoxycarbonyl, carbamoyl,  $N-(C_{1-6}$ alkyl)carbamoyl,

$N,N-(C_{1-6}$ alkyl)<sub>2</sub>carbamoyl, benzyl, phenethyl, benzoyl, phenylsulphonyl and phenyl;

5  $R^{29}$ ,  $R^{30}$ ,  $R^{40}$ ,  $R^{41}$ ,  $R^{50}$ ,  $R^{51}$ ,  $R^{56}$  and  $R^{57}$  are independently selected from -O-, -NR<sup>62</sup>-, -S(O)<sub>x</sub>-, -NR<sup>62</sup>C(O)NR<sup>63</sup>-, -NR<sup>62</sup>C(S)NR<sup>63</sup>-, -OC(O)N=C-, -NR<sup>62</sup>C(O)- or -C(O)NR<sup>62</sup>-; wherein R<sup>62</sup> and R<sup>63</sup> are independently selected from hydrogen or  $C_{1-6}$ alkyl, and x is 0-2;

$R^{60}$  is selected from halo, hydroxy, cyano, carbamoyl, ureido, amino, nitro, carboxy, carbamoyl, mercapto, sulphamoyl, trifluoromethyl, trifluoromethoxy, methyl, ethyl, methoxy,

10 ethoxy, vinyl, allyl, ethynyl, methoxycarbonyl, formyl, acetyl, formamido, acetylamino, acetoxy, methylamino, dimethylamino,  $N$ -methylcarbamoyl,  $N,N$ -dimethylcarbamoyl, methylthio, methylsulphinyl, mesyl,  $N$ -methylsulphamoyl and  $N,N$ -dimethylsulphamoyl; and

e, f, g and h are independently selected from 0-2;

or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

15

2. A compound of formula (I) according to claim 1 wherein X is selected from -CH<sub>2</sub>-, -CH(OH)-, -C(O)-, -O- -S-, -S(O)- and -S(O)<sub>2</sub>-; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

20 3. A compound of formula (I) according to either of claims 1 or 2 wherein Y is -CH<sub>2</sub>-, -S- or -S(O)-; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

4. A compound of formula (I) according to any one of claims 1 to 3 wherein R<sup>1</sup> is halo;

25 or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

5. A compound of formula (I) according to any one of claims 1 to 4 wherein b is 0-1; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

30 6. A compound of formula (I) according to any one of claims 1 to 5 wherein R<sup>6</sup> is halo; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

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7. A compound of formula (I) according to any one of claims 1 to 6 wherein c is 0-1; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

8. A compound of formula (I) according to any one of claims 1 to 7 wherein d is 0; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

9. A compound of formula (I) according to any one of claims 1 to 8 wherein R<sup>9</sup> is hydrogen; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

10

10. A compound of formula (I) according to any one of claims 1 to 9 wherein R<sup>10</sup> is hydrogen; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

15

11. A compound of formula (I) according to any one of claims 1 to 10 wherein R<sup>11</sup> and R<sup>12</sup> are independently selected from hydrogen, C<sub>1-4</sub>alkyl or carbocyclyl; wherein R<sup>11</sup> and R<sup>12</sup> may be independently optionally substituted on carbon by one or more substituents selected from R<sup>25</sup>; wherein R<sup>25</sup> is selected from hydroxy, amino, carbamoyl, C<sub>1-10</sub>alkoxycarbonyl, C<sub>1-10</sub>alkoxycarbonylamino, carbocyclyl or carboxy; wherein R<sup>25</sup> may be optionally substituted on carbon by one or more R<sup>60</sup>; wherein R<sup>60</sup> is hydroxy; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

20

12. A compound of formula (I) according to any one of claims 1 to 11 wherein R<sup>13</sup> is hydrogen; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

25

13. A compound of formula (I) according to any one of claims 1 to 12 wherein R<sup>14</sup> is hydroxy, C<sub>1-10</sub>alkyl, C<sub>1-10</sub>alkoxy, C<sub>1-10</sub>alkoxycarbonyl, carboxy or sulpho; wherein R<sup>14</sup> may be optionally substituted on carbon by one or more substituents selected from R<sup>33</sup>; or R<sup>14</sup> is a group of formula (IA) (as depicted above) wherein:

30 R<sup>15</sup> is hydrogen;

R<sup>16</sup> and R<sup>17</sup> are independently selected from hydrogen, carboxy, C<sub>1-6</sub>alkyl and C<sub>1-6</sub>alkoxycarbonyl;

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$R^{18}$  is selected from hydroxy,  $C_{1-10}$ alkyl,  $C_{1-10}$ alkoxy,  $C_{1-10}$ alkoxycarbonyl, carboxy and sulpho;

p is 1;

q is 0;

5 r is 0 or 1;

m is 0 or 1;

n is 1; and

$R^{33}$  is hydroxy;

or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

10

14. A compound of formula (I) according to any one of claims 1 to 13 wherein m is 0 or 1; or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

15. A compound of formula (I) according to any one of claims 1 to 14 wherein n is 1; or a 15 pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

16. A compound of formula (I) (as depicted in claim 1) wherein:

Ring A is selected from phenyl or thienyl;

X is selected from  $-CH_2-$ ,  $-CH(OH)-$ ,  $-C(O)-$ ,  $-O-$ ,  $-S-$ ,  $-S(O)-$  and  $-S(O)_2-$ ;

20 Y is  $-CH_2-$ ,  $-S-$  or  $-S(O)-$ ;

$R^1$  is fluoro;

b is 0-1;

$R^6$  is fluoro;

c is 0-1;

25 d is 0;

$R^9$  is hydrogen;

$R^{10}$  is hydrogen;

One of  $R^{11}$  and  $R^{12}$  is hydrogen and the other is selected from hydrogen, methyl, hydroxymethyl, 2-carbamoylethyl, 2-(ethoxycarbonyl)ethyl, 2-carboxyethyl, 30 4-(*t*-butoxycarbonylamino)butyl, 4-aminobutyl, isobutyl, phenyl, 4-hydroxyphenyl and 4-hydroxybenzyl;

$R^{13}$  is hydrogen;

$R^{14}$  is hydroxy, pentyl, methoxy, ethoxycarbonyl, *t*-butoxycarbonyl, carboxy or sulpho; wherein  $R^{14}$  may be optionally substituted on carbon by one or more substituents selected from  $R^{33}$ ; or  $R^{14}$  is a group of formula (IA) (as depicted above) wherein:

$R^{15}$  is hydrogen;

5  $R^{16}$  and  $R^{17}$  are independently selected from hydrogen, carboxy,  $C_{1-6}$ alkyl and *t*-butoxycarbonyl;

$R^{18}$  is selected from hydroxy, methyl, *t*-butoxy, ethoxycarbonyl, *t*-butoxycarbonyl, carboxy and sulpho;

$p$  is 1;

10  $q$  is 0;

$r$  is 0 or 1;

$m$  is 0 or 1;

$n$  is 1; and

$R^{33}$  is hydroxy;

15 or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

17. A compound of formula (I) (as depicted in claim 1) selected from:

1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-{4-[*N*-(*R*)- $\alpha$ -(*N*-(*S*)-[1-(carboxy)-2-(hydroxy)ethyl]carbamoyl]benzyl}carbamoylmethoxy]phenyl}azetidin-2-one;

20 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-{4-[*N*-(*R*)- $\alpha$ -(carboxy)benzyl}carbamoylmethoxy}phenyl}azetidin-2-one;

1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-{4-[*N*-(carboxymethyl)carbamoylmethoxy]phenyl}azetidin-2-one;

1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-{4-[*N*-[*N*-(carboxymethyl)

25 carbamoylmethyl]carbamoylmethoxy}phenyl}azetidin-2-one;

1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-{4-[*N*-(2-hydroxyethyl)carbamoylmethoxy]phenyl}azetidin-2-one;

1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-{4-[*N*-(2-methoxyethyl)carbamoylmethoxy]phenyl}azetidin-2-one;

30 3-(*R*)-4-(*R*)-1-(phenyl)-3-(4-fluorobenzoylmethylsulphanyl)-4-{4-[*N*-(carboxymethyl)carbamoylmethoxy]phenyl}azetidin-2-one;

3-(*R*)-4-(*R*)-1-(phenyl)-3-[2-(4-fluorophenyl)-2-hydroxyethylsulphanyl]-4-{4-[*N*-(carboxymethyl)carbamoylmethoxy]phenyl}azetidin-2-one;

3-(R)-4-(R)-1-(phenyl)-3-[2-(thien-3-yl)-2-hydroxyethylsulphanyl]-4-{4-[N-(carboxymethyl)carbamoylmethoxy]phenyl}azetidin-2-one;

3-(R)-4-(R)-1-(phenyl)-3-[2-(thien-3-yl)-2-hydroxyethylsulphanyl]-4-{4-[N-(R)- $\alpha$ -{N-[S]-1-(carboxy)-2-(hydroxy)ethyl]carbamoyl}benzyl}carbamoylmethoxy]phenyl}azetidin-2-one;

5 3-(R)-4-(R)-1-(phenyl)-3-(4-fluorobenzoylmethylsulphanyl)-4-{4-[N-(R)- $\alpha$ -{N-[S]-1-(carboxy)-2-(hydroxy)ethyl]carbamoyl}benzyl}carbamoylmethoxy]phenyl}azetidin-2-one; and

3-(R)-4-(R)-1-(phenyl)-3-[2-(4-fluorophenyl)-2-hydroxyethylsulphanyl]-4-{4-[N-(R)- $\alpha$ -{N-[S]-1-(carboxy)-2-(hydroxy)ethyl]carbamoyl}benzyl}carbamoylmethoxy]phenyl}azetidin-2-

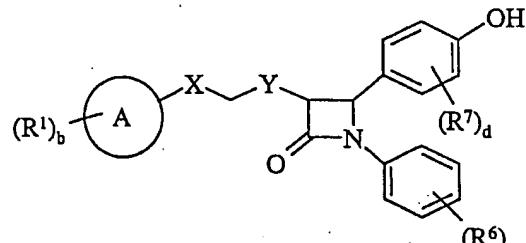
10 one;

or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

18. A process for preparing a compound of formula (I) or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof which process (wherein variable

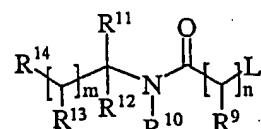
15 groups are, unless otherwise specified, as defined in claim 1) comprises of:

*Process 1)* reacting a compound of formula (II):



(II)

with a compound of formula (III):



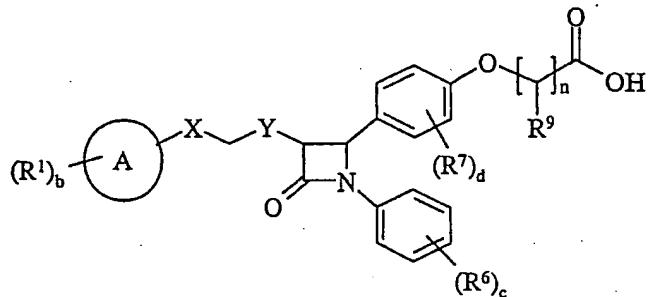
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(III)

wherein L is a displaceable group;

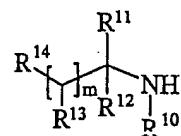
*Process 2)* reacting an acid of formula (IV):

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(IV)

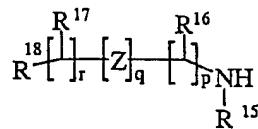
or an activated derivative thereof; with an amine of formula (V):



5

(V)

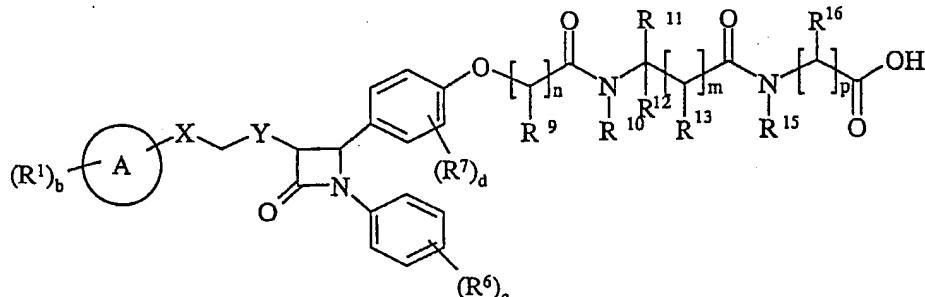
*Process 3):* for compounds of formula (I) wherein R<sup>14</sup> is a group of formula (IA); reacting a compound of formula (VI) wherein R<sup>14</sup> is carboxy, or an activated derivative thereof, with an amine of formula (VI):



10

(VI)

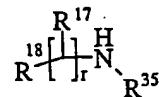
*Process 4):* for compounds of formula (I) wherein R<sup>14</sup> is a group of formula (IA), Z is -N(R<sup>35</sup>)C(O)- and q is 1; reacting an acid of formula (VII):



(VII)

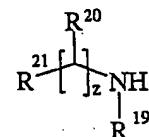
15 or an activated derivative thereof; with an amine of formula (VIII):

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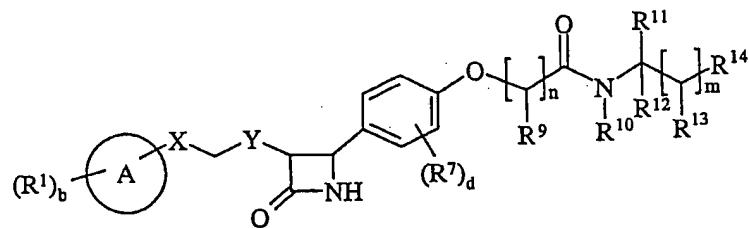
(VIII)

Process 5): for compounds of formula (I) wherein R<sup>14</sup> is a group of formula (IA) and R<sup>18</sup> is a group of formula (IB); reacting an acid of formula (I) wherein R<sup>14</sup> is a group of formula (IA) and R<sup>18</sup> is carboxy, or an activated derivative thereof, with an amine of formula (IX)



(IX)

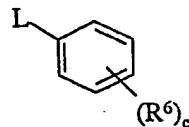
Process 6): reacting a compound of formula (X):



10

(X)

with a compound of formula (XI):

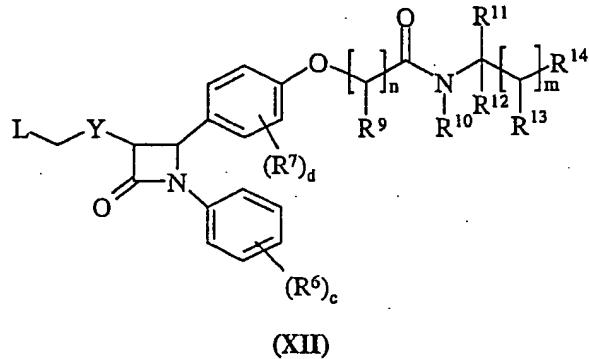


(XI)

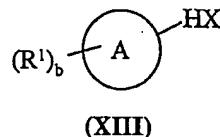
wherein L is a displaceable group;

15 Process 7): for compounds of formula (I) wherein X is selected from -O-, -NR<sup>X</sup>- and -S(O)<sub>a</sub>- wherein a is 0; reacting a compound of formula (XII):

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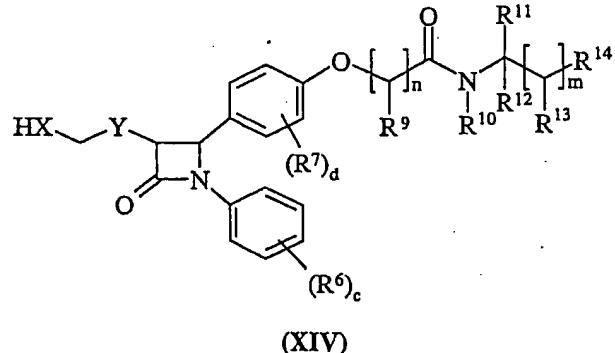


wherein L is a displaceable group; with a compound of formula (XIII):

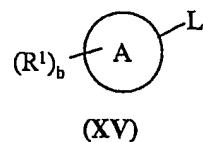


5

*Process 8):* for compounds of formula (I) wherein X is selected from  $-O-$ ,  $-NR^x-$  and  $-S(O)_a-$  wherein a is 0; reacting a compound of formula (XIV):



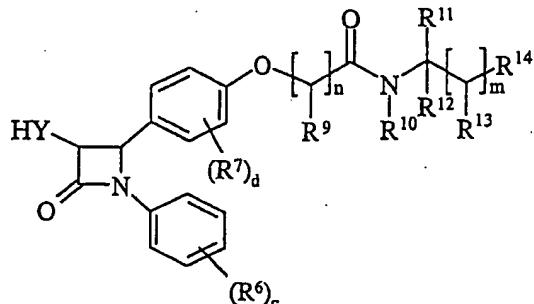
10 with a compound of formula (XV):



wherein L is a displaceable group;

*Process 9):* for compounds of formula (I) wherein Y is selected from  $-O-$ ,  $-NR^z-$  and  $-S(O)_a-$

15 wherein a is 0; reacting a compound of formula (XVI):

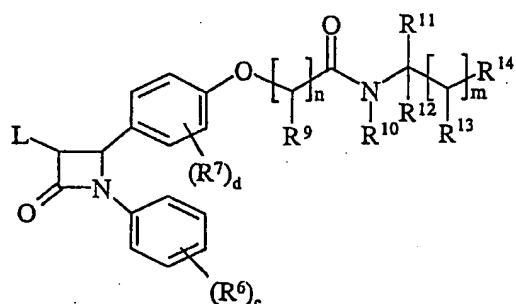


with a compound of formula (XVII):



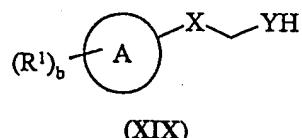
5 wherein L is a displaceable group;

*Process 10):* for compounds of formula (I) wherein Y is selected from  $-O-$ ,  $-NR^2-$  and  $-S(O)_a-$  wherein a is 0; reacting a compound of formula (XVIII):



10

wherein L is a displaceable group; with a compound of formula (XIX):



*Process 11):* for compounds of formula (I) wherein X or Y is  $-S(O)_a-$  and a is 1 or 2;

15 oxidizing a compound of formula (I) wherein X or Y is  $-S(O)_a-$  and a is 0 (for compounds of formula (I) wherein and a is 1 or 2) or a is 1 (for compounds of formula (I) wherein and a is 2);

and thereafter if necessary or desirable:

- i) converting a compound of the formula (I) into another compound of the formula (I);
- ii) removing any protecting groups;
- iii) forming a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug; or
- iv) separating two or more enantiomers.

5

19. A pharmaceutical composition which comprises a compound of formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, in association with a pharmaceutically-acceptable diluent or carrier.

10

20. A compound of the formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, for use in a method of prophylactic or therapeutic treatment of a warm-blooded animal, such as man.

15 21. A compound of the formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, for use as a medicament.

22. The use of a compound of the formula (I), or a pharmaceutically acceptable salt, 20 solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, in the production of a cholesterol absorption inhibitory effect in a warm-blooded animal, such as man.

23. The use of a compound of the formula (I), or a pharmaceutically acceptable salt, 25 solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, in the treatment of hyperlipidaemic conditions in a warm-blooded animal, such as man.

24. The use of a compound of the formula (I), or a pharmaceutically acceptable salt, 30 solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, in the manufacture of a medicament for use in the production of a cholesterol absorption inhibitory effect in a warm-blooded animal, such as man.

25. The use of a compound of the formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, in the manufacture of a medicament for use in the treatment of hyperlipidaemic conditions in a warm-blooded animal, such as man.

5

26. A method for producing a cholesterol absorption inhibitory effect in a warm-blooded animal, such as man, in need of such treatment which comprises administering to said animal an effective amount of a compound of formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16.

10

27. A method of treating hyperlipidaemic conditions in a warm-blooded animal, such as man, in need of such treatment which comprises administering to said animal an effective amount of a compound of formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16.

15

28. A combination of a compound of formula (I), or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof, as claimed in any one of claims 1-16, and an HMG Co-A reductase inhibitor, or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

20

29. A combination according to claim 28 wherein the HMG Co-A reductase inhibitors is selected from fluvastatin, lovastatin, pravastatin, simvastatin, atorvastatin, cerivastatin, bervastatin, dalvastatin, pitavastatin, mevastatin and rosuvastatin, or a pharmaceutically acceptable salt, solvate, solvate of such a salt or a prodrug thereof.

25

30. A pharmaceutical composition which comprises a combination according to either of claims 28 or 29, in association with a pharmaceutically acceptable diluent or carrier.

30

31. The use of a combination according to either of claims 28 or 29, in the production of a cholesterol lowering effect in a warm-blooded animal, such as man.

32. The use of a combination according to either of claims 28 or 29, in the treatment of hyperlipidaemic conditions in a warm-blooded animal, such as man.

33. The use of a combination according to either of claims 28 or 29, in the manufacture of a medicament for use in the production of a cholesterol lowering effect.

34. The use of a combination according to either of claims 28 or 29, in the manufacture of 5 a medicament for use in the treatment of hyperlipidaemic conditions.

35. A method for producing a cholesterol absorption inhibitory effect in a warm-blooded animal, such as man, in need of such treatment which comprises administering to said animal an effective amount of a combination according to either of claims 28 or 29.

10

36. A method of treating hyperlipidaemic conditions in a warm-blooded animal, such as man, in need of such treatment which comprises administering to said animal an effective amount of a combination according to either of claims 28 or 29.

15